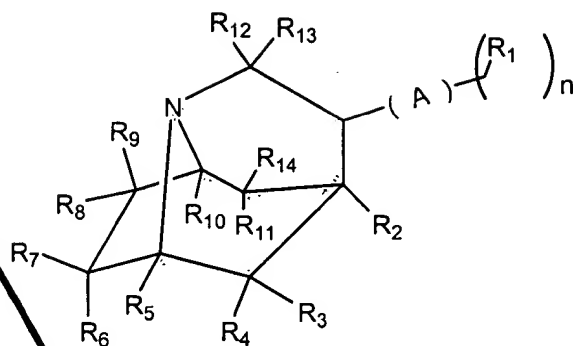


1 *Claims*

2 We claim:

3 1. A composition of formula (I):
4



5
6
7
8 wherein,

9 A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R₁
10 independently comprises a moiety selected from the group consisting of hydrogen, aryl,
11 heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit,
12 polymer, and biomolecule;

13 R₂-R₁₃ each independently comprise a moiety selected from the group consisting
14 of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
15 alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,
16 amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit,
17 polymer, and biomolecule;

18 R₁₄ comprises a functionality selected from the group consisting of ester moiety,
19 O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl,
20 heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;
21 silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt
22 thereof.

23 2. The composition of claim 1, wherein one occurrence of R₁ comprises a moiety
24 selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic,
25 heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence

1 of R₁ is hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is
2 formed; R₂-R₁₃ each independently comprise hydrogen or alkyl; and R₁₄ comprises an
3 ester moiety.

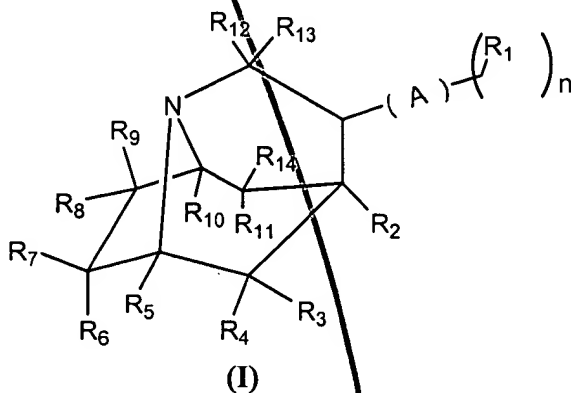
4 3. The composition of claim 1, wherein one occurrence of R₁ comprises a moiety
5 selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl,
6 alkenylaryl, and alkynylaryl; and either one or two occurrences of R₁ comprise
7 hydrogen.

8 4. The composition of claim 1, wherein A is a double bond; n = 2; and one occurrence
9 of R₁ is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-
10 methoxy-phenyl, 4-fluoro-phenyl, 1-naphthyl, 2-furyl, 3-furyl, methoxy, and
11 substituted or unsubstituted alkenylaryl, and the second occurrence of R₁ is hydrogen,
12 whereby an E (entgegen) isomer is generated.

13 5. A selective norepinephrine and serotonin reuptake inhibitor (SSNRI) having the
14 formula (I), wherein one occurrence of R₁ comprises 4-methoxy-phenyl, one
15 occurrence of R₁ comprises hydrogen; R₂-R₁₃ each comprise hydrogen; and R₁₄
16 comprises an ester moiety.

17 6. A selective norepinephrine reuptake inhibitor (SNRI) having the formula (I),
18 wherein one occurrence of R₁ comprises phenyl, one occurrence of R₁ comprises
19 hydrogen, R₂-R₁₃ each comprise hydrogen, and R₁₄ comprises an ester moiety.

20 7. A pharmaceutical composition comprising a compound of formula (I):
21
22



24 wherein,

A1
not

1 A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R₁
2 independently comprises a moiety selected from the group consisting of hydrogen, aryl,
3 heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit,
4 polymer, and biomolecule;

5 R₂-R₁₃ each independently comprise a moiety selected from the group consisting
6 of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
7 alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,
8 amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit,
9 polymer, and biomolecule;

10 R₁₄ comprises a functionality selected from the group consisting of ester moiety,
11 O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl,
12 heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;
13 silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt
14 thereof; and

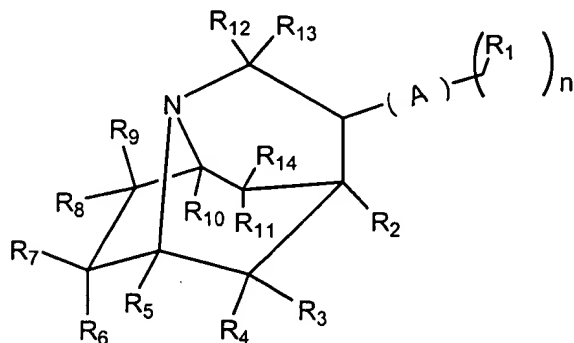
15 a pharmaceutically acceptable carrier.

16 8. The pharmaceutical composition of claim 7, wherein one occurrence of R₁ comprises
17 a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl,
18 polycyclic, heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one
19 occurrence of R₁ is hydrogen, whereby either an E (entgegen) or Z (zusammen)
20 isomer is formed; and R₂-R₁₃ each independently comprise hydrogen or alkyl; and R₁₄
21 comprises an ester moiety.

22 9. The pharmaceutical composition of claim 7, wherein one occurrence of R₁ comprises
23 a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl,
24 alkenylaryl, and alkynylaryl; and either one or two occurrences of R₁ comprise
25 hydrogen.

26 10. The pharmaceutical composition of claim 7, wherein A is a double bond; n = 2; and
27 one occurrence of R₁ is selected from the group consisting of phenyl, 3,4-Dichloro-
28 phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-naphthyl, 2-furyl, 3-furyl, methoxy, and
29 substituted or unsubstituted alkenylaryl, and the second occurrence of R₁ is hydrogen,
30 whereby an E (entgegen) isomer is generated.

1 11. A method for treating disorders caused by a deficiency in monoamine concentration
2 in a human by administering a pharmaceutically effective dose of a compound of
3 formula (I):
4



(I)

5
6
7 wherein,

8 A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R₁
9 independently comprises a moiety selected from the group consisting of hydrogen, aryl,
10 heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit,
11 polymer, and biomolecule;

12 R₂-R₁₃ each independently comprise a moiety selected from the group consisting
13 of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
14 alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,
15 amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit,
16 polymer, and biomolecule;

17 R₁₄ comprises a functionality selected from the group consisting of ester moiety,
18 O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl,
19 heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;
20 silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt
21 thereof.

22 12. The method of claim 11, wherein one occurrence of R₁ comprises a moiety selected
23 from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
24 alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence of R₁ is
25 hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; and
26 R₂-R₁₃ each independently comprise hydrogen or alkyl; and R₁₄ comprises an ester
27 moiety.

1 13. The method of claim 11, wherein one occurrence of R₁ comprises a moiety selected
2 from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and
3 alkynylaryl; and either one or two occurrences of R₁ comprise hydrogen.

4 14. The method of claim 11, wherein A is a double bond; n = 2; and one occurrence of R₁
5 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-
6 phenyl, 4-fluoro-phenyl, 1-naphthyl, 2-furyl, 3-furyl, methoxy, and substituted or
7 unsubstituted alkenylaryl, and the second occurrence of R₁ is hydrogen, whereby an E
8 (entgegen) isomer is generated.

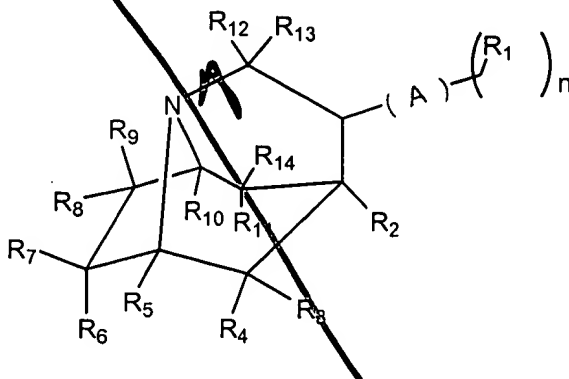
9 15. The method of claim 11 wherein said disease or condition in a mammal comprises a
10 disease or condition in a mammal in which the activity of serotonin or norepinephrine
11 is implicated and modulation of serotonin activity or serotonin or norepinephrine
12 reuptake is desired.

13 16. The method of claim 11, wherein said disease or condition in a mammal is selected
14 from the group consisting of depression, substance addiction, neurodegenerative
15 disease, Attention Deficit Disorder, Huntingtons's Disease, bipolar disorder and other
16 psychiatric or clinical disfunctions.

17 17. The method of claim 16, wherein said neurodegenerative disease is Parkinson's
18 Disease or Alzheimer's Disease.

19 18. The method of claim 16, wherein said substance addiction comprises cocaine
20 addiction.

21 19. A radiolabeled compound comprising a radionuclide and a compound of formula (I):
22
23



(I)

wherein,

A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R₁ independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R₂-R₁₃ each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

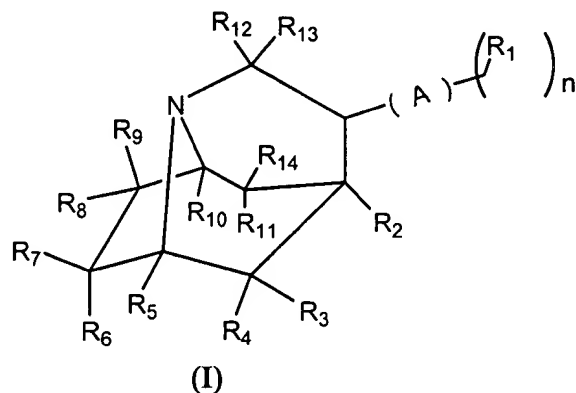
R₁₄ comprises a functionality selected from the group consisting of ester moiety, O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

20. The radiolabeled compound of claim 19, wherein one occurrence of R₁ comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence of R₁ is hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; and R₂-R₁₃ each independently comprise hydrogen or alkyl; and R₁₄ comprises an ester moiety.

21. The radiolabeled compound of claim 19, wherein one occurrence of R₁ comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either one or two occurrences of R₁ comprise hydrogen.

22. The radiolabeled compound of claim 19, wherein A is a double bond; n = 2; and one occurrence of R₁ is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-naphthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl, and the second occurrence of R₁ is hydrogen, whereby an E (entgegen) isomer is generated.

23. A method comprising imaging the brain of a mammal by administering a radiolabeled compound comprising a radionuclide and a compound of formula (I):



wherein,

A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R₁ independently comprises a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R₂-R₁₃ each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R₁₄ comprises a functionality selected from the group consisting of ester moiety, O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof; and

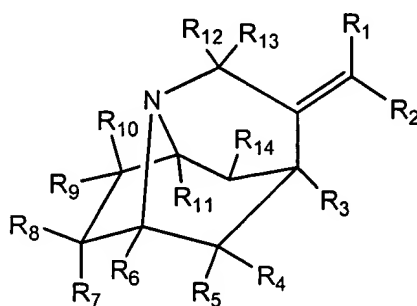
detecting the presence of the radiolabeled compound in the brain.

24. The method of claim 23, wherein one occurrence of R₁ comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl; A is a double bond; n = 2; at least one occurrence of R₁ is hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; and R₂-R₁₃ each independently comprise hydrogen or alkyl; and R₁₄ comprises an ester moiety.

25. The method of claim 23, wherein one occurrence of R_1 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either one or two occurrences of R_1 comprise hydrogen.

26. The method of claim 23, wherein A is a double bond; $n = 2$; and one occurrence of R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-naphthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl, and the second occurrence of R_1 is hydrogen, whereby an E (entgegen) isomer is generated.

27. A composition of formula (II):



(II)

wherein,

R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3 - R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O- R_{15} , wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

28. The composition of claim 27, wherein either R₁ or R₂ comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl, and either R₁ or R₂ comprises hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; R₃-R₁₃ each independently comprise hydrogen or alkyl; and R₁₄ comprises an ester moiety.

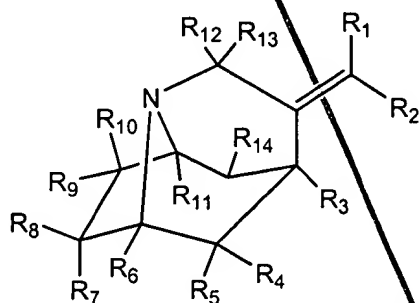
29. The composition of claim 27, wherein either R₁ or R₂ comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either R₁ or R₂ comprises hydrogen.

30. The composition of claim 27, wherein R₁ is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-naphthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and R₂ is hydrogen, whereby an E (entgegen) isomer is generated.

31. A selective norepinephrine and serotonin reuptake inhibitor (SSNRI) having the formula (II), wherein R₁ comprises 4-methoxy-phenyl, R₂ comprises hydrogen, R₃-R₁₃ each comprise hydrogen, and R₁₄ comprises an ester moiety.

32. A selective norepinephrine reuptake inhibitor (SNRI) having the formula (II), wherein R₁ comprises phenyl, R₂ comprises hydrogen, R₃-R₁₃ each comprise hydrogen, and R₁₄ comprises an ester moiety.

33. A pharmaceutical composition comprising a compound of formula (II):



(II)

wherein,

1 R₁ and R₂ each independently comprise a moiety selected from the group
2 consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl,
3 alkynyl, solid support unit, polymer, and biomolecule;

4 R₃-R₁₃ each independently comprise a moiety selected from the group consisting
5 of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
6 alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,
7 amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit,
8 polymer, and biomolecule;

9 R₁₄ comprises a functionality selected from the group consisting of ester moiety,
10 O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl,
11 heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;
12 silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt
13 thereof; and

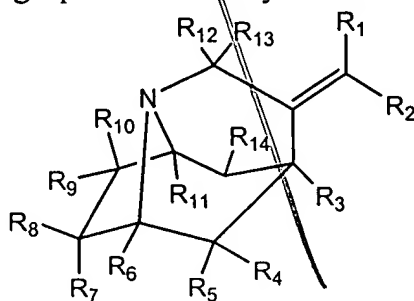
14 a pharmaceutically acceptable carrier.

15 34. The pharmaceutical composition of claim 33, wherein either R₁ or R₂ comprises a
16 moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic,
17 heterocyclic, alkenyl, and alkynyl, and either R₁ or R₂ comprises hydrogen, whereby
18 either an E (entgegen) or Z (zusammen) isomer is formed; R₃-R₁₃ each independently
19 comprise hydrogen or alkyl; and R₁₄ comprises an ester moiety.

20 35. The pharmaceutical composition of claim 33, wherein either R₁ or R₂ comprises a
21 moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl,
22 alkenylaryl, and alkynylaryl; and either R₁ or R₂ comprises hydrogen.

23 36. The pharmaceutical composition of claim 33, wherein R₁ is selected from the group
24 consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-
25 naphthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and
26 R₂ is hydrogen, whereby an E (entgegen) isomer is generated.

27 37. A method for treating disorders caused by a deficiency in monoamine concentration
28 in a human by administering a pharmaceutically effective dose of a compound of
29 formula (II):
30
31



(II)

wherein,

R_1 and R_2 each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R_3 - R_{13} each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R_{14} comprises a functionality selected from the group consisting of ester moiety, O- R_{15} , wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl; silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt thereof.

38. The method of claim 37, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, and alkynyl, and either R_1 or R_2 comprises hydrogen, whereby either an E (entgegen) or Z (zusammen) isomer is formed; R_3 - R_{13} each independently comprise hydrogen or alkyl; and R_{14} comprises an ester moiety.

39. The method of claim 37, wherein either R_1 or R_2 comprises a moiety selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and alkynylaryl; and either R_1 or R_2 comprises hydrogen.

40. The method of claim 37, wherein R_1 is selected from the group consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-naphthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and R_2 is hydrogen, whereby an E (entgegen) isomer is generated.

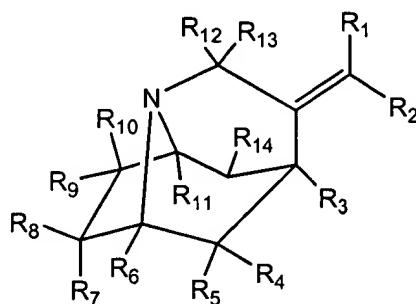
41. The method of claim 37 wherein said disease or condition in a mammal comprises a disease or condition in a mammal in which the activity of serotonin or norepinephrine is implicated and modulation of serotonin activity or serotonin or norepinephrine reuptake is desired.

42. The method of claim 37, wherein said disease or condition in a mammal is selected from the group consisting of depression, substance addiction, neurodegenerative disease, Attention Deficit Disorder, Huntingtons's Disease, bipolar disorder and other psychiatric or clinical disfunctions.

43. The method of claim 42, wherein said neurodegenerative disease is Parkinson's Disease or Alzheimer's Disease.

44. The method of claim 42, wherein said substance addiction comprises cocaine addiction.

45. A radiolabeled compound comprising a radionuclide and a compound of formula (II):



wherein,

R₁ and R₂ each independently comprise a moiety selected from the group consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit, polymer, and biomolecule;

R₃-R₁₃ each independently comprise a moiety selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino, amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit, polymer, and biomolecule;

R₁₄ comprises a functionality selected from the group consisting of ester moiety, O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;

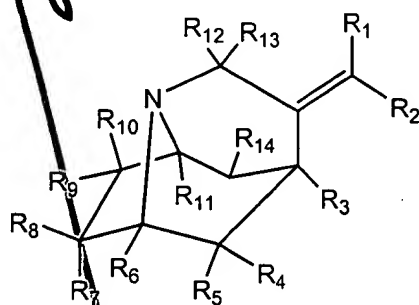
1 silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt
2 thereof.

3 46. The radiolabeled compound of claim 45, wherein either R₁ or R₂ comprises a moiety
4 selected from the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic,
5 heterocyclic, alkenyl, and alkynyl, and either R₁ or R₂ comprises hydrogen, whereby
6 either an E (entgegen) or Z (zusammen) isomer is formed; R₃-R₁₃ each independently
7 comprise hydrogen or alkyl; and R₁₄ comprises an ester moiety.

8 47. The radiolabeled compound of claim 45, wherein either R₁ or R₂ comprises a moiety
9 selected from the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl,
10 alkenylaryl, and alkynylaryl; and either R₁ or R₂ comprises hydrogen.

11 48. The radiolabeled compound of claim 45, wherein R₁ is selected from the group
12 consisting of phenyl, 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-
13 naphthyl, 2-furyl, 3-furyl, methoxy, and substituted or unsubstituted alkenylaryl; and
14 R₂ is hydrogen, whereby an E (entgegen) isomer is generated.

15 49. A method comprising imaging the brain of a mammal by administering a
16 radiolabeled compound comprising a radionuclide and a compound of formula (II):
17



(II)

20 wherein,

21 R₁ and R₂ each independently comprise a moiety selected from the group
22 consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl,
23 alkynyl, solid support unit, polymer, and biomolecule;

24 R₃-R₁₃ each independently comprise a moiety selected from the group consisting
25 of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
26 alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,

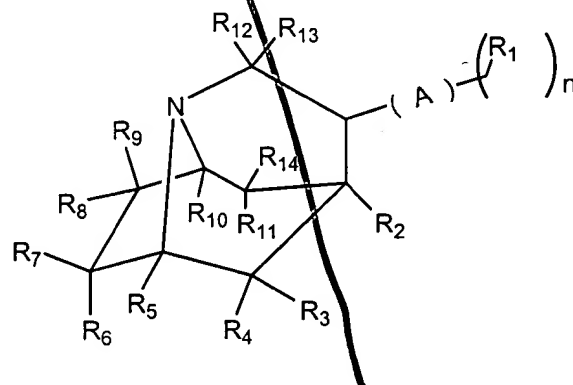
1 amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit,
 2 polymer, and biomolecule;
 3 R_4 comprises a functionality selected from the group consisting of ester moiety,
 4 $O-R_{15}$, wherein R_{15} is selected from the group consisting of alkyl, cycloalkyl, aryl,
 5 heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;
 6 silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt
 7 thereof; and
 8 detecting the presence of the radiolabeled compound in the brain.

9 50. The method of claim 49, wherein either R_1 or R_2 comprises a moiety selected from
 10 the group consisting of aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl,
 11 and alkynyl, and either R_1 or R_2 comprises hydrogen, whereby either an E (entgegen)
 12 or Z (zusammen) isomer is formed; R_3-R_{13} each independently comprise hydrogen or
 13 alkyl; and R_{14} comprises an ester moiety.

14 51. The method of claim 49, wherein either R_1 or R_2 comprises a moiety selected from
 15 the group consisting of haloaryl, alkoxy, alkylaryl, polycyclyl, alkenylaryl, and
 16 alkynylaryl; and either R_1 or R_2 comprises hydrogen.

17 52. The method of claim 49, wherein R_1 is selected from the group consisting of phenyl,
 18 3,4-Dichloro-phenyl, 4-methoxy-phenyl, 4-fluoro-phenyl, 1-naphthyl, 2-furyl, 3-furyl,
 19 methoxy, and substituted or unsubstituted alkenylaryl; and R_2 is hydrogen, whereby
 20 an E (entgegen) isomer is generated.

21 53. A method for inhibiting the reuptake of a monoamine transporter comprising
 22 contacting a monoamine transporter with a compound having the formula (I):
 23



(I)

1 wherein,

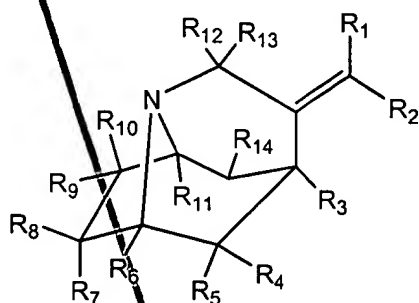
2 A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R₁
3 independently comprises a moiety selected from the group consisting of hydrogen, aryl,
4 heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit,
5 polymer, and biomolecule;

6 R₂-R₁₃ each independently comprise a moiety selected from the group consisting
7 of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
8 alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,
9 amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit,
10 polymer, and biomolecule;

11 R₁₄ comprises a functionality selected from the group consisting of ester moiety,
12 O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl,
13 heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;
14 silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt
15 thereof.

16 54. The method of claim 53, wherein said monoamine transporter comprises
17 serotonin transporter, dopamine transporter, and norepinephrine transporter.

18 55. A method for inhibiting the reuptake of a monoamine transporter comprising
19 contacting a monoamine transporter with a compound having the formula (II):
20



21
22 (II)

23 wherein,

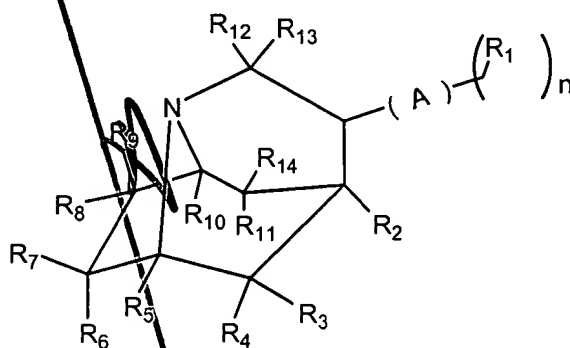
24 R₁ and R₂ each independently comprise a moiety selected from the group
25 consisting of hydrogen, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl,
26 alkynyl, solid support unit, polymer, and biomolecule;

1 R₃-R₁₃ each independently comprise a moiety selected from the group consisting
2 of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
3 alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,
4 amido, phosphoryl, sulfonyl, silyl group, ether, alkylthio, carbonyl, solid support unit,
5 polymer, and biomolecule;

6 R₁₄ comprises a functionality selected from the group consisting of ester moiety,
7 O-R₁₅, wherein R₁₅ is selected from the group consisting of alkyl, cycloalkyl, aryl,
8 heteroaryl, alkenyl, and alkynyl; ketone; oxime; carboxylic acid; aldehyde; phosphoryl;
9 silyl; solid support unit; polymer and biomolecule, or a pharmaceutically acceptable salt
10 thereof.

11 56. The method of claim 55, wherein said monoamine transporter comprises serotonin
12 transporter, dopamine transporter, and norepinephrine transporter.

13 57. A library of compounds having the formula (II):
14



15
16
17 (I)

18 wherein,

19 A is either a double bond or a single bond, n is 2 or 3, and each occurrence of R₁
20 independently comprises a moiety selected from the group consisting of hydrogen, aryl,
21 heteroaryl, cycloalkyl, polycyclic, heterocyclic, alkenyl, alkynyl, solid support unit,
22 polymer, and biomolecule;

23 R₂-R₁₃ each independently comprise a moiety selected from the group consisting
24 of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, polycyclic, heterocyclic,
25 alkoxy, acyl, amino, hydroxy, thio, halogen, cyano, nitro, trifluoromethyl, azido, imino,

- 1 (a) providing a monoamine transporter;
2 (b) contacting the library of compounds of claim 57 or 58 with said monoamine
3 transporter; and
4 (c) detecting whether any compounds in said library of compounds is capable of
5 binding to the monoamine transporter and inhibiting uptake of monoamines.

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